

09737687

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FILE 'HOME' ENTERED AT 17:50:33 ON 14 NOV 2003

=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL

09737687

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:51:14 ON 14 NOV 2003
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 13 NOV 2003 HIGHEST RN 616855-37-9
DICTIONARY FILE UPDATES: 13 NOV 2003 HIGHEST RN 616855-37-9

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

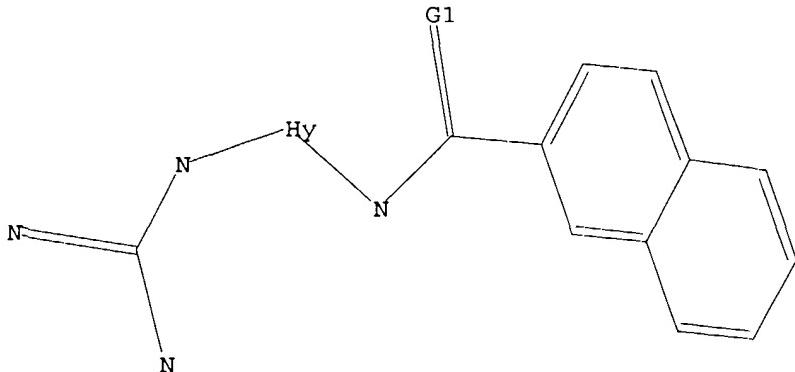
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>
Uploading 09737687.str

L1 STRUCTURE uploaded

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:51:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 795 TO ITERATE

09737687

100.0% PROCESSED 795 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 14209 TO 17591
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full
FULL SEARCH INITIATED 17:51:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15291 TO ITERATE

100.0% PROCESSED 15291 ITERATIONS
SEARCH TIME: 00.00.03

7 ANSWERS

L3 7 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 17:51:40 ON 14 NOV 2003
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FILE COVERS 1907 - 14 Nov 2003 VOL 139 ISS 21
FILE LAST UPDATED: 13 Nov 2003 (20031113/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 full
L4 2 L3

=> d 14 1-2 ibib abs hitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:510525 CAPLUS
DOCUMENT NUMBER: 138:180188
TITLE: 4-Aminoarylguanidine and 4-aminobenzamidine derivatives as potent and selective urokinase-type plasminogen activator inhibitors
AUTHOR(S): Spencer, Jeffrey R.; McGee, Danny; Allen, Darin; Katz,

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Bradley A.; Luong, Christine; Sendzik, Martin;
Squires, Neil; Mackman, Richard L.

CORPORATE SOURCE: Celera, South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),
12(15), 2023-2026

PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:180188

AB The structure-based design of potent and selective urokinase-type plasminogen activator (uPA) inhibitors with 4-aminoaryl amide or 4-aminoaryl guanidine S1 binding groups, is described.

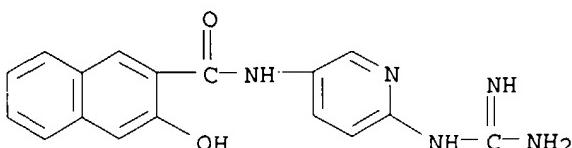
IT 345237-31-2 498565-31-4 498565-32-5
498565-33-6 498565-34-7

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(aminoarylguanidine and aminobenzamidine derivs. as potent and selective urokinase-type plasminogen activator inhibitors)

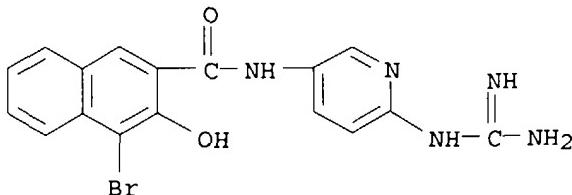
RN 345237-31-2 CAPPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy- (9CI) (CA INDEX NAME)



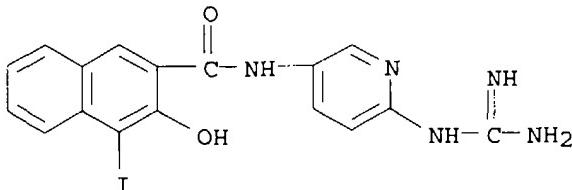
RN 498565-31-4 CAPPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-4-bromo-3-hydroxy- (9CI) (CA INDEX NAME)



RN 498565-32-5 CAPPLUS

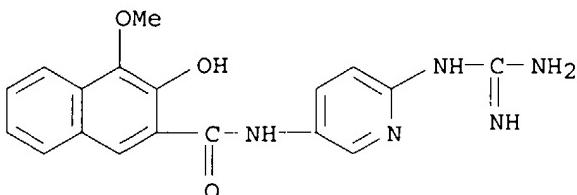
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-4-iodo- (9CI) (CA INDEX NAME)



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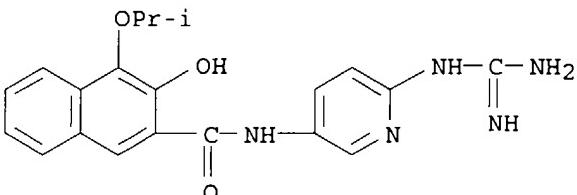
RN 498565-33-6 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 498565-34-7 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-4-(1-methylethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:453001 CAPLUS

DOCUMENT NUMBER: 135:46002

TITLE: Synthesis and use of amidino/guanidino-aryl amino salicylamides as serine protease inhibitors for treatment of cancer related disorders

INVENTOR(S): Allen, Darin Arthur; McGee, Danny Peter Claude; Spencer, Jeffrey R.

PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

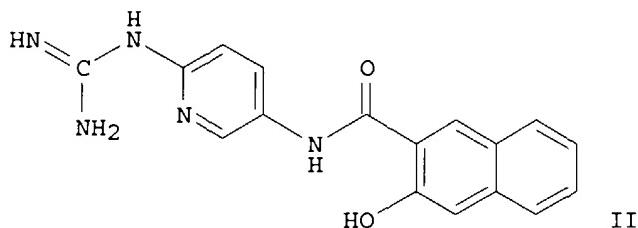
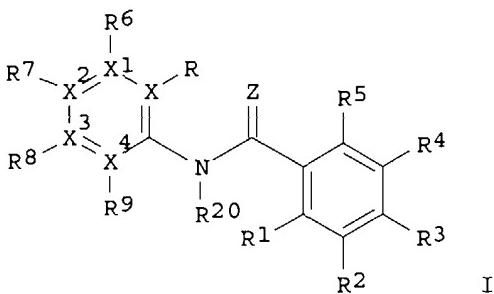
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044172	A1	20010621	WO 2000-US34211	20001214
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

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US 2002052343 A1 20020502 US 2000-737687 20001214
EP 1242366 A1 20020925 EP 2000-984472 20001214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 1999-170916P P 19991215
WO 2000-US34211 W 20001214

OTHER SOURCE(S): MARPAT 135:46002
GI



AB Compds. I and a process for their synthesis are claimed [wherein; R1 = OH, CO2H, ester, CH2O-, (O)SO3H, sulfonate ester or OP(O)(OH)2 or esters thereof; R2-5 = H, SH, O-, halo, ester, amide, (substituted)aryl, heterocyclyl, etc.; R, R6, R9 = H, halo, CN, (halo)alkyl, NO2, O-aryl/alkyl or R, R6 taken together form (un)satd. (un)substituted C4; R7, R8 = OH, CF3, H, CO2H, NO2, (O)alkyl/aryl, halo, cyano, (substituted)guanidino/amidino, imidazolin-2-yl, N-amidino(morpholine/piperidine), etc.; X includes C; X1-4 = C or N; R20 = H or OH; Z = O, S, CH2, N-, H(CO2H), H(CH2OH), etc.; with the proviso that at least 2 of X1-4 = C and when any of X1-4 = N the corresponding substituent does not exist]. Data for over 40 synthetic examples is provided. The process claimed involves a selective acylation of an amino group and is exemplified by the synthesis of II. 3-Acetoxy-2-chlorocarbonylnaphthalene was prep'd. from the corresponding carboxylic acid and coupled, in the presence of N,N-dimethylacetamide (or other selected acetamides), to N-(5-aminopyridin-2-yl)guanidine hydrochloride to give the acetoxy deriv. of II. The acetoxy deriv. was treated with 1M HCl for 2 h to provide II, isolated as the HCl salt. Compds. of the invention are inhibitors of serine proteases, urokinase (uPA), factor Xa (FXa) and/or factor VIIa (FVIIa). Guanidine II had Ki = 0.326 .mu.M for urokinase and Ki = 130 .mu.M for FXa. Compds. I are anticancer agents and/or anticoagulants and also used for the treatment or prevention of thromboembolic disorders in mammals.

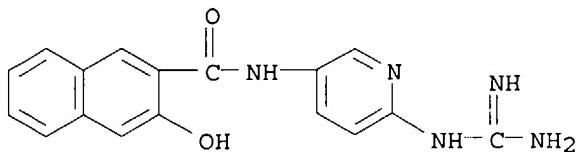
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IT 345237-02-7P 345237-31-2P 345237-32-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; synthesis and use of amidino/guanidino-aryl amino salicylamides as serine protease inhibitors)

RN 345237-02-7 CAPPLUS

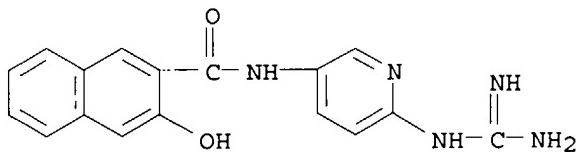
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-, hydrochloride (10:13) (9CI) (CA INDEX NAME)



●13/10 HCl

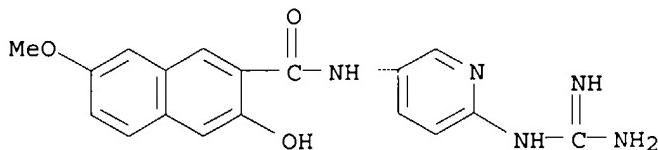
RN 345237-31-2 CAPPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 345237-32-3 CAPPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-7-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT